

insock to STN

Welcome to STN International! Enter x:X

LOGINID:SSPTASMR1614

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

***** Welcome to STN International *****

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS	3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS	4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG 24	CA/Caplus enhanced with legal status information for U.S. patents
NEWS	6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS	8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS	9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS	10	NOV 23	Addition of SCAN format to selected STN databases
NEWS	11	NOV 23	Annual Reload of IFI Databases
NEWS	12	DEC 01	FRFULL Content and Search Enhancements
NEWS	13	DEC 01	DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS	14	DEC 02	Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS	15	DEC 02	PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS	16	DEC 02	USGENE: Enhanced coverage of bibliographic and sequence information
NEWS	17	DEC 21	New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/Caplus
NEWS	18	JAN 12	Match STN Content and Features to Your Information Needs, Quickly and Conveniently
NEWS	19	JAN 25	Annual Reload of MEDLINE database
NEWS	20	FEB 16	STN Express Maintenance Release, Version 8.4.2, Is Now Available for Download
NEWS	21	FEB 16	Derwent World Patents Index (DWPI) Revises Indexing of Author Abstracts
NEWS	22	FEB 16	New FASTA Display Formats Added to USGENE and PCTGEN
NEWS	23	FEB 16	INPADOCDB and INPAFAMDB Enriched with New Content and Features
NEWS	24	FEB 16	INSPEC Adding Its Own IPC codes and Author's E-mail Addresses

NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,
AND CURRENT DISCOVER FILE IS DATED 15 JANUARY 2010.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN customer agreement. This agreement limits use to scientific research. Use for software development or design, implementation of commercial gateways, or use of CAS and STN data in the building of commercial products is prohibited and may result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 07:40:55 ON 09 MAR 2010

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.44	0.44

FILE 'REGISTRY' ENTERED AT 07:41:50 ON 09 MAR 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 MAR 2010 HIGHEST RN 1208220-74-9
DICTIONARY FILE UPDATES: 8 MAR 2010 HIGHEST RN 1208220-74-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdnoc/properties.html>

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=>
Uploading C:\Program Files\STNEXP\Queries\10564010 str 4.str

L1 STRUCTURE UPLOADED

=> que L1

L2 QUE L1

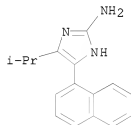
=> s l2 sss full
FULL SEARCH INITIATED 07:42:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 647 TO ITERATE

100.0% PROCESSED 647 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

L3 3 SEA SSS FUL L1

=> d l3

L3 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2010 ACS on STN
RN 842155-12-8 REGISTRY
ED Entered STN: 04 Mar 2005
CN 1H-Imidazol-2-amine, 4-(1-methylethyl)-5-(1-naphthalenyl)- (CA INDEX
NAME)
MF C16 H17 N3
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 194.13 194.57

FILE 'CAPLUS' ENTERED AT 07:42:44 ON 09 MAR 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 9 Mar 2010 VOL 152 ISS 11
 FILE LAST UPDATED: 8 Mar 2010 (20100308/ED)
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

CAPLUS now includes complete International Patent Classification (IPC)
 reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d his

(FILE 'HOME' ENTERED AT 07:40:55 ON 09 MAR 2010)

FILE 'REGISTRY' ENTERED AT 07:41:50 ON 09 MAR 2010

L1 STRUCTURE UPLOADED

L2 QUE L1

L3 3 S L2 SSS FULL

FILE 'CAPLUS' ENTERED AT 07:42:44 ON 09 MAR 2010

=> s l3

L4 1 L3

=> d l4 ibib ab hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:120898 CAPLUS

DOCUMENT NUMBER: 142:219297

TITLE: Preparation of pyrimidine analogs as 5-HT2b receptor
 antagonists

INVENTOR(S): Borman, Richard Anthony; Coleman, Robert Alexander;
 Clark, Kenneth Lyle; Oxford, Alexander William; Hynd,
 George; Archer, Janet Ann; Ale, Amanda; Harris, Neil
 Victor

PATENT ASSIGNEE(S): Pharmagene Laboratories Limited, UK

SOURCE: PCT Int. Appl., 173 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012263	A1	20050210	WO 2004-GB3184	20040723
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,			

SN, TD, TG
 CA 2532505 A1 20050210 CA 2004-2532505 20040723
 EP 1648876 A1 20060426 EP 2004-743517 20040723
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
 JP 2006528617 T 20061221 JP 2006-520897 20040723
 US 20090018150 A1 20090115 US 2006-564010 20060111
 PRIORITY APPLN. INFO.: GB 2003-17346 A 20030724
 US 2003-490286P P 20030728
 WO 2004-GB3184 W 20040723

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

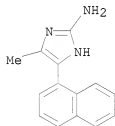
OTHER SOURCE(S): CASREACT 142:219297; MARPAT 142:219297

AB Title compds. represented by the formula I [wherein X = O or NH; R1 = (un)substituted aryl; R2, R3 = independently H, (un)substituted (cyclo)alkyl, cycloalkylalkyl, phenylalkyl; R4, R5 = independently H, (un)substituted (phenyl)alkyl, sulfonylalkyl, carbonylalkyl, alkylamino or R4R5 = (un)substituted heterocyclic group; and pharmaceutically acceptable salts or solvates thereof], and 3 addnl. Markush structures, were prepared as 5-HT2b receptor agonists. For example, reaction of 2-amino-4-chloro-6-methylpyrimidine with aniline in the microwave cavity gave II. I were tested for binding activity of 5-HT2A, 5-HT2B and 5-HT2C. Thus, I and their pharmaceutical compns. are useful for the treatment of a condition alleviated by antagonism of a 5-HT2B receptor, such as digestive tract disease (no data).

IT 842155-08-2P 842155-11-7P 842155-12-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrimidinyl, imidazolyl, oxazolyl and triazolyl amine derivs. as 5-HT2b receptor antagonists)

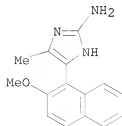
RN 842155-08-2 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-(1-naphthalenyl)- (CA INDEX NAME)

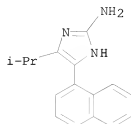


RN 842155-11-7 CAPLUS

CN 1H-Imidazol-2-amine, 5-(2-methoxy-1-naphthalenyl)-4-methyl- (CA INDEX NAME)



RN 842155-12-8 CAPLUS
CN 1H-Imidazol-2-amine, 4-(1-methylethyl)-5-(1-naphthalenyl)- (CA INDEX
NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	7.31	201.88
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-0.85	-0.85

FILE 'REGISTRY' ENTERED AT 07:44:35 ON 09 MAR 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 8 MAR 2010 HIGHEST RN 1208220-74-9
DICTIONARY FILE UPDATES: 8 MAR 2010 HIGHEST RN 1208220-74-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

```

=>
Uploading C:\Program Files\STNEXP\Queries\10564010 str6.str

L5      STRUCTURE UPLOADED

=> que L5

L6      QUE L5

=> s l6 sss full
FULL SEARCH INITIATED 07:46:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      744 TO ITERATE

100.0% PROCESSED      744 ITERATIONS      15 ANSWERS
SEARCH TIME: 00.00.01

L7      15 SEA SSS FUL L5

=> file caplus
COST IN U.S. DOLLARS      SINCE FILE      TOTAL
                        ENTRY      SESSION
FULL ESTIMATED COST      193.01      394.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                ENTRY      SESSION
CA SUBSCRIBER PRICE      0.00      -0.85

FILE 'CAPLUS' ENTERED AT 07:46:57 ON 09 MAR 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

```

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

```

FILE COVERS 1907 - 9 Mar 2010 VOL 152 ISS 11
FILE LAST UPDATED: 8 Mar 2010 (20100308/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

```

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

```

=> s l7
L8      17 L7

```

=> d 18 1-17 ibib ab hitstr

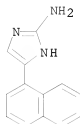
L8 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:1503529 CAPLUS
DOCUMENT NUMBER: 152:12356
TITLE: Preparation of azolylamino
benzopyridobicyclooctanecarboxamides and
dipyridobicyclooctanecarboxamides as modulators of
activator protein 1 (AP-1) and/or NF- κ B
activity.
INVENTOR(S): Duan, Jingwu; Sheppeck, James; Jiang, Bin; Gilmore,
John L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 38pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7625921	B2	20091201	US 2005-34822	20050113
US 20050182082	A1	20050818		
WO 2005072732	A1	20050811	WO 2005-US1181	20050114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1708701	A1	20061011	EP 2005-711446	20050114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			
PRIORITY APPLN. INFO.:			US 2004-537437P	P 20040116
			US 2005-34822	A 20050113
			WO 2005-US1181	W 20050114
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
AB	Title compds. [I; R = H, OH, alkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, etc.; R1, R2 = H, halo, OH, alkyl, alkenyl, alkynyl, aryl, aryloxy, heteroaryl, cyano, hydroxyaryl, hydroxyalkyl, etc.; R3, R4 = H, alkyl, alkenyl, alkynyl, alkoxy, amino, aryl, OH, aryloxy, heteroaryl, etc.; Z = (substituted) aminomethyl, aminocarbonyl, aminosulfonyl, aminosulfinyl; dotted lines = optional double bonds; X1-X8 = CR15, CR16R17, N, NR18; R15-R17 = H, halo, OH, alkyl, alkenyl, alkynyl, alkoxy, aryl, aryloxy, heteroaryl, cyano, CO2H, CH2OH, etc.; R16R17 = O; R18 = H, aryl, alkyl, alkenyl, alkynyl, alkoxy, amino, heteroaryl, cycloalkyl, etc.; with provisos], were prepared Thus, title compound (II) was prepared in 7% yield via coupling of the corresponding acid and amine using EDC/HOBt/DIEPA in MeCN at 70° for 17 h. I showed glucocorticoid receptor/dexamethasone inhibition activity (>95% at 10 μ M) and/or AP-1 inhibitory activity (EC50 <15 μ M).			
IT	76507-18-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)			

(preparation of azolylamino benzopyridobicyclooctanecarboxamides and
dipyridobicyclooctanecarboxamides as modulators of AP-1 and/or
NF- κ B activity)

RN 76507-18-1 CAPLUS

CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER: 2009:1290195 CAPLUS

DOCUMENT NUMBER: 151:448426

TITLE: Preparation of heterocyclic bicyclooctylcarboxamide
derivatives as modulators of glucocorticoid receptor,
AP-1, and/or NF- κ B

INVENTOR(S): Weinstein, David S.; Sheppeck, James; Gilmore, John L.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S., 50pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7605264	B2	20091020	US 2005-35290	20050113
US 20050182083	A1	20050818		
WO 2005073221	A1	20050811	WO 2005-US1293	20050114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1711488	A1	20061018	EP 2005-711486	20050114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			

PRIORITY APPLN. INFO.:
US 2004-537048P P 20040116
US 2005-35290 A 20050113
WO 2005-US1293 W 20050114

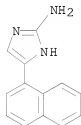
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Novel non-steroidal compds. of formula I are provided which are useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF- κ B activity including obesity, diabetes, inflammatory and immune diseases. Also provided are pharmaceutical compns. and methods of treating obesity, diabetes and inflammatory or immune associated diseases comprising said compds. Compds. of formula I wherein Y and W are independently C or N; X is CR₃R₄; R = H, alkyl, aryl, etc.; R₁ is H, halo, alkenyl, etc.; R₂ is H, alkoxy, aryloxy, etc.; R₃ and R₄ are independently H, alkenyl, alkoxy, etc.; R₃R₄ may be taken together with the carbon that they are attached to form a 3- to 7-membered ring; Z is CONH₂ and derivs., CH₂NH₂ and derivs., SONH₂ and derivs., etc.; one of rings A and B is (un)substituted heterocycle and the other = (un)substituted carbocycle or heterocycle; and their pharmaceutically acceptable salts and stereoisomers, are claimed. Example compound II was prepared by amidation of III with 4-(4-fluoronaphthalen-1-yl)-thiazol-2-ylamine. The invention compds. were evaluated for their GR, AP-1 and NF- κ B inhibitory activity (some data given).

IT 76507-18-1P
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of heterocyclic bicyclooctylcarboxamide derivs. as modulators of glucocorticoid receptor, AP-1, and/or NF- κ B)

RN 76507-18-1 CAPLUS

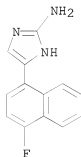
CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



IT 650626-12-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of heterocyclic bicyclooctylcarboxamide derivs. as modulators of glucocorticoid receptor, AP-1, and/or NF- κ B)

RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



(2 CITINGS)
REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:938111 CAPLUS
DOCUMENT NUMBER: 151:190042
TITLE: Fused aryl and heteroaryl bicyclo[2.2.2]octane
derivative modulators of the glucocorticoid receptor,
AP-1, and/or NF- κ B activity, and therapeutic use
thereof
INVENTOR(S): Duan, Jingwu; Jiang, Bin; Sheppeck, James; Gilmore,
John L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 28pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

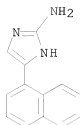
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7569689	B2	20090804	US 2005-34652	20050113
US 20050176716	A1	20050811		
WO 2005070207	A1	20050804	WO 2005-US1411	20050114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1705990 A1 20061004 EP 2005-711524 20050114 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU PRIORITY APPLN. INFO.: US 2004-537467P P 20040116 US 2005-34652 A 20050113 WO 2005-US1411 W 20050114				

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB A class of non-steroidal compds. are provided which are useful in treating
diseases associated with modulation of the glucocorticoid receptor, AP-1,
and/or NF- κ B activity including obesity, diabetes, inflammatory and
immune diseases. The compds. of the invention are fused aryl and
heteroaryl bicyclo[2.2.2]octane derivs. I [R = H, OH, alkyl, etc.; Ra, Rb
= H, halo, OH, alkyl, etc.; Rc, Rd = H, alkyl, alkenyl, etc.; Z =
S(O)tNR1R2, CONR1R2, CH2NR1R2; t = 1,2; R1, R2 = H, alkyl, etc.; X1-X8 =
CR15, NR18, etc.; R15 = H, halo, OH, etc.; R18 = H, alkyl, alkyl, etc.].
Also provided are pharmaceutical compns. and methods comprising the above
compds. for treating obesity, diabetes and inflammatory or immune-associated
diseases. Compound preparation is included.

IT 76507-18-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(fused aryl and heteroaryl bicyclo[2.2.2]octane derivative modulators of
glucocorticoid receptor, AP-1, and/or NF- κ B activity, and

therapeutic use)
 RN 76507-18-1 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 86 THERE ARE 86 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:336377 CAPLUS

DOCUMENT NUMBER: 150:306630

TITLE: Preparation of xanthenes, thioxanthenes and
 benzopyranopyridines, and related analogs as
 modulators of glucocorticoid receptor, ap-1, and/or
 nf-kb activity and use thereof

INVENTOR(S): Weinstein, David S.; Chen, Ping; Dhar, T. G. Murali;
 Duan, Jingwu; Gong, Hua; Jiang, Bin; Yang, Bingwei
 Vera; Dowsyko, Arthur M.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S. Pat. Appl. Publ., 21lpp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090075995	A1	20090319	US 2007-835438	20070808
AU 2007286221	A1	20080221	AU 2007-286221	20070809
CA 2660318	A1	20080221	CA 2007-2660318	20070809
WO 2008021926	A2	20080221	WO 2007-US75543	20070809
WO 2008021926	A3	20080522		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,				
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,				
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,				
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,				
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,				
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,				
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,				
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,				
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,				
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 2049507	A2	20090422	EP 2007-800057	20070809
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, HR				

JP 2010500376	T	20100107	JP 2009-523988	20070809
MX 2009001220	A	20090211	MX 2009-1220	20090130
NO 2009000564	A	20090319	NO 2009-564	20090205
KR 2009038930	A	20090421	KR 2009-704788	20090306
CN 101528718	A	20090909	CN 2007-80037118	20090403
PRIORITY APPLN. INFO.:			US 2006-836496P	P 20060809
			US 2007-835438	A 20070808
			WO 2007-US75543	W 20070809

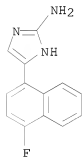
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Novel non-steroidal compds. I [A = 5-8 membered carbocyclic or heterocyclic ring; B = cycloalkyl, cycloalkenyl, aryl, heterocyclo ring, and heteroaryl ring, wherein the B ring is fused to the A ring, and the B ring is optionally substituted with R5-8; X, Y, and Z independently = -AlQA2-; Q independently = bond, O, S, S(O), and S(O)2; A1 and A2 independently = bond, (un)substituted alkylene, alkenylene with provisions; R1-8 independently = H, halo, (un)substituted alkyl, etc.; R9 and R10 independently = H, halo, (un)substituted alkyl, alkenyl, alkynyl, etc.; R11 = H, alkoxy, aryl, (un)substituted alkyl, etc.; R12 = heterocyclo, heteroaryl and CN], and their pharmaceutically acceptable salts are prepared and disclosed as useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-KB activity, including inflammatory and immune diseases. Thus, e.g., II was prepared by amidation of xanthen-9-ylacetic acid (preparation given) with 2-amino-5-(4-pyridin-4-ylbenzyl)thiazole (preparation given). Assays for determining ap-1 activity are described, e.g., II demonstrated an IC50 value of 156.9 nM. Also provided are pharmaceutical compns. and methods of treating inflammatory- or immune-associated diseases and obesity and diabetes employing said compds.

IT 650626-12-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of xanthenes and thioxanthenes and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof)

RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L8 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:590502 CAPLUS

DOCUMENT NUMBER: 148:561920

TITLE: N-Heteroaryl carboxamides as modulators of glucocorticoid receptor, AP-1, and/or NF-κB activity and their preparation, pharmaceutical

INVENTOR(S): compositions and use in the treatment of diseases
Yang, Bingwei Vera; Doweiko, Lidia M.; Vaccaro, Wayne;
Huynh, Tram N.; Tortolani, David R.; Dhar, T. g.
Murali
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 177pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008057862	A2	20080515	WO 2007-US83094	20071031
WO 2008057862	A3	20081016		
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA</p>				
EP 2089355	A2	20090819	EP 2007-863679	20071031
<p>R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR</p>				
PRIORITY APPLN. INFO.:			US 2006-855950P	P 20061101
			WO 2007-US83094	W 20071031

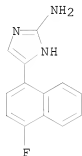
OTHER SOURCE(S): CASREACT 148:561920; MARPAT 148:561920

AB Non-steroidal compds. are provided which are useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF- κ B activity including inflammatory and immune diseases, obesity and diabetes having the structure of formula I an enantiomer, diastereomer, tautomer, solvate (e.g. a hydrate), or a pharmaceutically-acceptable salt, thereof. Also provided are pharmaceutical compns. and methods of treating metabolic and inflammatory- or immune-associated diseases or disorders using said compds. Compds. of formula I wherein M is (un)substituted alkyl, cycloalkyl, (hetero)aryl and heterocyclyl; Ma and Za are independently a bond and Cl-3 alkylene; Q is H, (un)substituted Cl-4 alkyl; Q and R6 taken together to form a 3- to 6-membered cycloalkyl; Q and M taken together to form a 3- to 7-membered heterocyclic ring; Z is cycloalkyl, heterocyclyl and (hetero)aryl; R1 - R4 are independently H, halo, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, NO2, CN, OH and derivs., etc.; R6 is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, CHO, acyl, CO2H and derivs., etc.; R7 is halo, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, NO2, CN, OH and derivs., etc.; R22 is H, (un)substituted alkyl, CO-alkyl, CO2-alkyl, SO2-alkyl, alkoxy, (un)substituted amino, (hetero)aryl, heterocyclyl, and cycloalkyl; and their enantiomers, diastereoisomers, and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by amidation of 2,2-diphenyl-1-methylcyclopropane-1-carboxylic acid with 2-aminothiazole. All the invention compds. were evaluated for their GR and AP-1 modulatory activity. From the assay, it was determined that compound II exhibited Ki

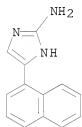
value

of 103.8 % RBA.

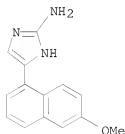
IT 650626-12-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of non-steroidal N-heteroaryl carboxamides as
 modulators of glucocorticoid receptor, AP-1 and NF- κ B useful in
 treatment of diseases)
 RN 650626-12-3 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



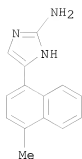
IT 76507-18-1P 650626-16-7P 1028834-12-9P
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP
 (Preparation); RACT (Reactant or reagent)
 (prophetic intermediate; preparation of non-steroidal N-heteroaryl
 carboxamides as modulators of glucocorticoid receptor, AP-1 and
 NF- κ B useful in treatment of diseases)
 RN 76507-18-1 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



RN 650626-16-7 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(6-methoxy-1-naphthalenyl)- (CA INDEX NAME)



RN 1028834-12-9 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(4-methyl-1-naphthalenyl)- (CA INDEX NAME)



L8 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:224089 CAPLUS

DOCUMENT NUMBER: 148:285174

TITLE: Preparation of xanthenes, thioxanthenes and benzopyranopyridines, and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof

INVENTOR(S): Weinstein, David S.; Gong, Hua; Duan, Jingwu; Dhar, T.g. Murali; Yang, Bingwei Vera; Chen, Ping; Jiang, Bin

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 349 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021926	A2	20080221	WO 2007-US75543	20070809
WO 2008021926	A3	20080522		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20090075995	A1	20090319	US 2007-835438	20070808
AU 2007286221	A1	20080221	AU 2007-286221	20070809
CA 2660318	A1	20080221	CA 2007-2660318	20070809
EP 2049507	A2	20090422	EP 2007-800057	20070809
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, HR				
JP 2010500376	T	20100107	JP 2009-523988	20070809
IN 2009DN00677	A	20090515	IN 2009-DN677	20090129
MX 2009001220	A	20090211	MX 2009-1220	20090130
NO 2009000564	A	20090319	NO 2009-564	20090205
KR 2009038930	A	20090421	KR 2009-704788	20090306

CN 101528718	A	20090909	CN 2007-80037118	20090403
PRIORITY APPLN. INFO.:			US 2006-836496P	P 20060809
			US 2007-835438	A 20070808
			WO 2007-US75543	W 20070809

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 148:285174

AB Novel non-steroidal compds. I [A = 5-8 membered carbocyclic or heterocyclic ring; B = cycloalkyl, cycloalkenyl, aryl, heterocyclic ring, and heteroaryl ring, wherein the B ring is fused to the A ring, and the B ring is optionally substituted with R5-8; X, Y, and Z independently = -AlQA2-; Q independently = bond, O, S, S(O), and S(O)2; A1 and A2 independently = bond, (un)substituted alkylene, alkenylene with provisions; R1-8 independently = H, halo, (un)substituted alkyl, etc.; R9 and R10 independently = H, halo, (un)substituted alkyl, alkenyl, alkynyl, etc.; R11 = H, alkoxy, aryl, (un)substituted alkyl, etc.; R12 = heterocyclo, heteroaryl and CN], and their pharmaceutically acceptable salts are prepared and disclosed as useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-KB activity, including inflammatory and immune diseases. Thus, e.g., II was prepared by amidation of xanthen-9-ylacetic acid (preparation given) with 2-amino-5-(4-pyridin-4-ylbenzyl)thiazole (preparation given). Assays for

determining ap-1 activity are described, e.g., II demonstrated an IC50 value of 156.9 nM. Also provided are pharmaceutical compns. and methods of treating inflammatory- or immune-associated diseases and obesity and diabetes employing said compds.

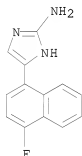
IT 650626-12-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of xanthenes and thioxanthenes and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof)

RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L8 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER: 2007:227067 CAPLUS

DOCUMENT NUMBER: 146:295921

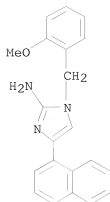
TITLE: Preparation of imidazol-2-ylamines and related compounds as 5-HT5 receptor inhibitors

INVENTOR(S): Amberg, Wilhelm; Netz, Astrid; Kling, Andreas; Ochse, Michael; Lange, Udo; Haupt, Andreas; Garcia-Ladona, Francisco Javier; Wernet, Wolfgang

PATENT ASSIGNEE(S): Abbott G.m.b.H. & Co. K.-G., Germany

SOURCE: PCT Int. Appl., 173pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007022947	A2	20070301	WO 2006-EP8223	20060821
WO 2007022947	A3	20070503		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 1917251	A2	20080507	EP 2006-791604	20060821
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			DE 2005-102005040600A	20050821
			US 2005-711014P	P 20050824
			DE 2006-102006005917A	20060209
			WO 2006-EP8223	W 20060821
OTHER SOURCE(S):	CASREACT 146:295921; MARPAT 146:295921			
AB	Title compds. I [W = substituted Ph, thiophenyl, etc; R1, R2 = H, OH, CN, etc.; R3 = electron pair, H; X, Y, Z = N, C, CR4 with provisos; R4 = H, NO2, NH2, etc.; Q = (CRq1Rq2)a-(Vq)b-(CRq3Rq4)c; a = 0-4; b 0-1; c = 0-4; Rq1, Rq2, Rq3, Rq4 = H, halo, OH, etc.; Vq = CO, O, S, etc.] and their pharmaceutically acceptable salts were prepared For example, imidazol-2-ylamine II was prepared from 2-bromo-1-(4-bromophenyl)ethanone in 2-steps. In 5-HT5a receptor binding assays, 54-examples of compds. I exhibited Ki values ≤ 600nM.			
IT	927905-56-4P, 1-(2-Methoxybenzyl)-4-naphthalen-1-yl-1H-imidazol-2-ylamine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of imidazol-2-ylamines and related compds. as 5-HT5 receptor inhibitors)			
RN	927905-56-4 CAPLUS			
CN	1H-Imidazol-2-amine, 1-[(2-methoxyphenyl)methyl]-4-(1-naphthalenyl)- (CA INDEX NAME)			



L8 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:678383 CAPLUS

DOCUMENT NUMBER: 145:124343

TITLE: Preparation of
dibenzobicyclo[2.2.2]octadienylcarboxamides as
modulators of the glucocorticoid receptor, ap-1,
and/or NF-kb activity and use thereof

INVENTOR(S): Yang, Bingwei V.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA

SOURCE: U.S. Pat. Appl. Publ., 33 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060154962	A1	20060713	US 2006-330511	20060112
US 7317024	B2	20080108		
WO 2006076509	A1	20060720	WO 2006-US1117	20060113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1841750	A1	20071010	EP 2006-718214	20060113
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008526977	T	20080724	JP 2007-551378	20060113
PRIORITY APPLN. INFO.:			US 2005-643760P	P 20050113
			WO 2006-US1117	W 20060113

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 145:124343; MARPAT 145:124343

AB Title comps. I [R1 = H, OH, alkyl, etc.; R3 and R6 independently = H, halo, OH, alkyl, alkenyl, etc.; R7 and R8 independently = H, alkynyl, aryl, etc.; R4 and R5 independently = OH, alkoxy, aryloxy, etc.; Z =

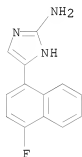
S(O)tNR1R2, CONR1R2 or CH2NR1R2 wherein R1 and R2 independently = H, alkyl, alkenyl, alkynyl, heteroaryl, etc.; m and n independently = 0-4 provided m+n ≥ 1; t = 1-2], and their pharmaceutically acceptable salts, are prepared and disclosed as novel non-steroidal compds. which are useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-κB activity including obesity, diabetes, inflammatory and immune diseases. Thus, e.g., II was prepared by coupling of the corresponding acid (preparation given) with 4-(4-methylnaphthalen-1-yl)thiazol-2-ylamine. Methods for assaying glucocorticoid receptor inhibition (>25% at 10 μM, preferably >95% at 10 μM) and/or AP-1 inhibition activity (EC50 < 15 μM) are described. Also provided are pharmaceutical compns. and methods of treating obesity, diabetes and inflammatory or immune associated diseases comprising said compds.

IT 650626-12-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of dibenzobicyclo[2.2.2]octadienylcarboxamide derivs. as modulators of glucocorticoid receptor, AP-1 and/or NF-κB activity)

RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER: 2005:732644 CAPLUS

DOCUMENT NUMBER: 143:211899

TITLE: Preparation of heterocyclic bicyclooctylcarboxamide derivatives as modulators of glucocorticoid receptor, AP-1, and/or NF-κB

INVENTOR(S): Weinstein, David S.; Sheppeck, James; Gilmore, John L.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073221	A1	20050811	WO 2005-US1293	20050114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG

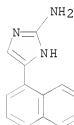
US 7605264 B2 20091020 US 2005-35290 20050113
 US 20050182083 A1 20050818
 EP 1711488 A1 20061018 EP 2005-711486 20050114

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR,
 IS, YU

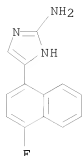
PRIORITY APPLN. INFO.: US 2004-537048P P 20040116
 US 2005-35290 A 20050113
 WO 2005-US1293 W 20050114

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 143:211899; MARPAT 143:211899

AB Title compds. I [Y and W independently = C or N; X = CR3R4; R = H, alkyl,
 aryl, etc.; R1 = H, halo, alkenyl, etc.; R2 = H, alkoxy, aryloxy, etc.; R3
 and R4 independently = H, alkenyl, alkoxy, etc. or R3 and R4 may
 optionally be taken together with the carbon that they are attached to
 form a 3-7 membered ring which may optionally include an O or N atom; Z =
 CONR5R6, CH2NR5R6, SONR5R6, etc.; R5 and R6 independently = H, amino,
 heteroaryl, etc.; one of A and B = (un)substituted heterocycle and the
 other = (un)substituted carbocycle or heterocycle with provisions] and
 their pharmaceutically acceptable salts, are prepared and disclosed as
 modulators of glucocorticoid receptor, AP-1, and/or NF- κ B. Thus,
 e.g., II was prepared by amidation of III (preparation given) with
 4-(4-fluoronaphthalen-1-yl)-thiazol-2-ylamine. The activity of I to
 inhibit AP-1 was evaluated using cellular transrepressional assays and it
 was revealed that compds. of the invention possessed an EC50 value of less
 than 15 μ M. I as modulator of glucocorticoid receptor, AP-1, and/or
 NF- κ B should prove useful in the treatment of obesity, diabetes and
 inflammatory or immune associated diseases. Pharmaceutical compns.
 comprising I are disclosed.
 IT 76507-18-1P 650626-12-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of heterocyclic bicyclooctylcarboxamide derivs. as modulators
 of glucocorticoid receptor, AP-1, and/or NF- κ B)
 RN 76507-18-1 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



RN 650626-12-3 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:732507 CAPLUS

DOCUMENT NUMBER: 143:211915

TITLE: Preparation of azolylamino
benzobicyclooctanecarboxamides as modulators of
activator protein-1 (AP-1) and/or NF- κ B
activity.

INVENTOR(S): Weinstein, David S.; Yang, Bingwei Vera; Kim,
Soong-Hoon; Vaccaro, Wayne; Sheppeck, James; Gilmore,
John

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072132	A2	20050811	WO 2005-US1180	20050114
WO 2005072132	A3	20060302		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20050187242	A1	20050825	US 2005-35176	20050113
US 7253283	B2	20070807		
EP 1703797	A2	20060927	EP 2005-705688	20050114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
US 20070270453	A1	20071122	US 2007-773506	20070705
US 7544808	B2	20090609		
PRIORITY APPLN. INFO.:			US 2004-537469P	P 20040116

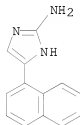
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:211915; MARPAT 143:211915

AB Title compds. [I; dotted line = optional double bond; m, n = 1, 2; J, K = C, N, O, S; R = H, alkyl, alkenyl, alkynyl, alkoxy, cyano, aryl, aryloxy, heteroaryl, amino, etc.; R1 = H, halo, alkyl, alkenyl, alkynyl, cyano, cyanoalkyl, hydroxyaryl, NO2, amino, aryl, heteroaryl, etc.; R2 = H, alkyl, alkenyl, alkynyl, alkoxy, aryl, aryloxy, cyano, halo, NO2, cyanoalkyl, etc.; R3, R4 = H, alkyl, alkenyl, alkynyl, aryl, OH, heteroaryl, hydroxyaryl, aryloxyalkyl, etc.; R3R4 = atoms to form a 3-7 membered ring; R5, R6 = H, halo, OH, alkyl, alkenyl, alkynyl, alkoxy, aryl, aralkyl, aryloxy, heteroaryl, cyano, cyanoalkyl, NO2, amino, etc.; B = (substituted) carbocyclyl, heterocyclyl], were prepared. Thus, title compound (II) was prepared in 21% yield via coupling of the corresponding bicyclocloctanecarboxylic acid and thiazolylamine in the presence of HOAt/EDC/Et3N in MeCN at 85° for 5 h. I have glucocorticoid receptor/dexamethasone inhibition activity (>95% at 10 µM) and/or AP-1 inhibition activity (EC50 <15 µM).

IT 76507-18-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azolylamino benzobicyclocloctanecarboxamides as modulators of AP-1 and/or NF-κB activity)

RN 76507-18-1 CAPLUS

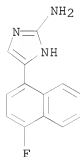
CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



IT 650626-12-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azolylamino benzobicyclocloctanecarboxamides as modulators of AP-1 and/or NF-κB activity)

RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



(5 CITINGS)
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:729531 CAPLUS

DOCUMENT NUMBER: 143:211914

TITLE: Preparation of azolylamino
 benzopyridobicyclooctanecarboxamides and
 dipyrindobicyclooctanecarboxamides as modulators of
 activator protein 1 (AP-1) and/or NF- κ B
 activity.

INVENTOR(S): Duan, Jingwu; Sheppeck, James; Jiang, Bin; Gilmore,
 John L.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072732	A1	20050811	WO 2005-US1181	20050114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 7625921	B2	20091201	US 2005-34822	20050113
US 20050182082	A1	20050818		
EP 1708701	A1	20061011	EP 2005-711446	20050114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			

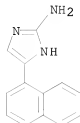
PRIORITY APPLN. INFO.: US 2004-537437P P 20040116
 US 2005-34822 A 20050113
 WO 2005-US1181 W 20050114

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:211914; MARPAT 143:211914

AB Title compds. [I; R = H, OH, alkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, etc.; R1, R2 = H, halo, OH, alkyl, alkenyl, alkoxy, aryl, aryloxy, heteroaryl, cyano, hydroxyaryl, hydroxyalkyl, etc.; R3, R4 = H, alkyl, alkenyl, alkynyl, alkoxy, amino, aryl, OH, aryloxy, heteroaryl, etc.; Z = (substituted) aminomethyl, aminocarbonyl, aminosulfonyl, aminosulfinyl; dotted lines = optional double bonds; X1-X8 = CR15, CR16R17, N, NR18; R15-R17 = H, halo, OH, alkyl, alkenyl, alkynyl, alkoxy, aryl, aryloxy, heteroaryl, cyano, CO2H, CH2OH, etc.; R16R17 = O; R18 = H, aryl, alkyl, alkenyl, alkynyl, alkoxy, amino, heteroaryl, cycloalkyl, etc.; with provisos], were prepared Thus, title compound (II) was prepared in 7% yield via coupling of the corresponding acid and amine using EDC/HOBt/DIEPA in MeCN at 70° for 17 h. I showed glucocorticoid receptor/dexamethasone inhibition activity (>95% at 10 μ M) and/or AP-1 inhibitory activity (EC50 <15 μ M).

IT 76507-18-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of azolylamino benzopyridobicyclooctanecarboxamides and
 dipyridobicyclooctanecarboxamides as modulators of AP-1 and/or
 NF- κ B activity)
 RN 76507-18-1 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
 (5 CITINGS)
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2005:729529 CAPLUS
 DOCUMENT NUMBER: 143:211913
 TITLE: Preparation of bis(aryl)tricyclic modulators of
 glucocorticoid receptor, AP-1, and/or NF κ B
 activity.
 INVENTOR(S): Yang, Bingwei Vera
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., '87 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072729	A1	20050811	WO 2005-US1229	20050114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050182110	A1	20050818	US 2005-35119	20050113
US 7326728	B2	20080205		
EP 1708699	A1	20061011	EP 2005-711468	20050114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				

PRIORITY APPLN. INFO.:

US 2004-537470P

P 20040116

WO 2005-US1229

W 20050114

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:211913; MARPAT 143:211913

AB Title compds. I [R = H, alk(en/yn)yl, cycloalkyl, etc.; R' = H, alk(en/yn)yl, cycloalkyl, etc.; R1-2 = H, halo, OH, etc.; R3-4 = H, alkyl, alk(en/yn)yl, alkoxy, etc.; Z = S01-2-amino, carboxamido, etc.; A, B = (un)saturated 6-membered carbocyclic, heterocyclic ring] are prepared For instance II is prepared in several steps from 9-nitroanthracene, Me 2-acetamidoacrylate and 2-amino-4-(naphthalen-1-yl)imidazole. I are glucocorticoid receptor modulators and are useful for the treatment of diseases associated with AP-1 or NF- κ B-induced transcription [no data].

IT 76507-18-1

RL: RCT (Reactant); RACT (Reactant or reagent)

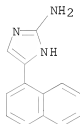
(preparation of bis(aryl)tricyclic imidazole/thiazole derivative modulators

of

glucocorticoid receptor, AP-1, and/or NF κ B activity)

RN 76507-18-1 CAPLUS

CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



IT 650626-12-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

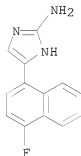
(preparation of bis(aryl)tricyclic imidazole/thiazole derivative modulators

of

glucocorticoid receptor, AP-1, and/or NF κ B activity)

RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:696690 CAPLUS
 DOCUMENT NUMBER: 143:186790
 TITLE: Fused aryl and heteroaryl bicyclo[2.2.2]octane derivative modulators of the glucocorticoid receptor, AP-1, and/or NF- κ B activity, and therapeutic use thereof
 INVENTOR(S): Duan, Jingwu; Jiang, Bin; Sheppeck, James; Gilmore, John L.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 74 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070207	A1	20050804	WO 2005-US1411	20050114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 7569689	B2	20090804	US 2005-34652	20050113
US 20050176716	A1	20050811		
EP 1705990	A1	20061004	EP 2005-711524	20050114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			

PRIORITY APPLN. INFO.:
 US 2004-537467P P 20040116
 US 2005-34652 A 20050113
 WO 2005-US1411 W 20050114

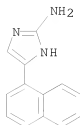
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 143:186790

AB A class of non-steroidal compds. are provided which are useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF- κ B activity including obesity, diabetes, inflammatory and immune diseases. The compds. of the invention are fused aryl and heteroaryl bicyclo[2.2.2]octane derivs. I [R = H, OH, alkyl, etc.; Ra, Rb = H, halo, OH, alkyl, etc.; Rc, Rd = H, alkyl, alkenyl, etc.; Z = S(O)tNR1R2, CONR1R2, CH2NR1R2; t = 1,2; R1, R2 = H, alkyl, etc.; X1-X8 = CR15, NR18, etc.; R15 = H, halo, OH, etc.; R18 = H, aryl, alkyl, etc.]. Also provided are pharmaceutical compns. and methods comprising the above compds. for treating obesity, diabetes and inflammatory or immune-associated diseases. Compound preparation is included.

IT 76507-18-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (fused aryl and heteroaryl bicyclo[2.2.2]octane derivative modulators of glucocorticoid receptor, AP-1, and/or NF- κ B activity, and therapeutic use)

RN 76507-18-1 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:120898 CAPLUS

DOCUMENT NUMBER: 142:219297

TITLE: Preparation of pyrimidine analogs as 5-HT2b receptor antagonists

INVENTOR(S): Borman, Richard Anthony; Coleman, Robert Alexander;
Clark, Kenneth Lyle; Oxford, Alexander William; Hynd,
George; Archer, Janet Ann; Aley, Amanda; Harris, Neil
Victor

PATENT ASSIGNEE(S): Pharmagene Laboratories Limited, UK

SOURCE: PCT Int. Appl., 1/3 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012263	A1	20050210	WO 2004-GB3184	20040723
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2532505	A1	20050210	CA 2004-2532505	20040723
EP 1648876	A1	20060426	EP 2004-743517	20040723
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
JP 2006528617	T	20061221	JP 2006-520897	20040723
US 20090018150	A1	20090115	US 2006-564010	20060111
PRIORITY APPLN. INFO.:			GB 2003-17346	A 20030724
			US 2003-490286P	P 20030728
			WO 2004-GB3184	W 20040723

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:219297; MARPAT 142:219297

AB Title compds. represented by the formula I [wherein X = O or NH; R1 = (un)substituted aryl; R2, R3 = independently H, (un)substituted

(cyclo)alkyl, cycloalkylalkyl, phenylalkyl; R4, R5 = independently H, (un)substituted (phenyl)alkyl, sulfonylalkyl, carbonylalkyl, alkylamino or R4R5 = (un)substituted heterocyclic group; and pharmaceutically acceptable salts or solvates thereof], and 3 addnl. Markush structures, were prepared as 5-HT2b receptor agonists. For example, reaction of 2-amino-4-chloro-6-methylpyrimidine with aniline in the microwave cavity gave II. I were tested for binding activity of 5-HT2A, 5-HT2B and 5-HT2C. Thus, I and their pharmaceutical comps. are useful for the treatment of a condition alleviated by antagonism of a 5-HT2B receptor, such as digestive tract disease (no data).

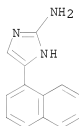
IT 76507-18-1P 650626-12-3P 842155-02-6P
 842155-04-8P 842155-05-9P 842155-08-2P
 842155-09-3P 842155-10-6P 842155-11-7P
 842155-12-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidinyl, imidazolyl, oxazolyl and triazolyl amine derivs. as 5-HT2b receptor antagonists)

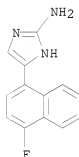
RN 76507-18-1 CAPLUS

CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



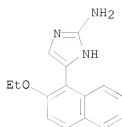
RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)

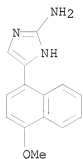


RN 842155-02-6 CAPLUS

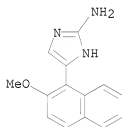
CN 1H-Imidazol-2-amine, 5-(2-ethoxy-1-naphthalenyl)- (CA INDEX NAME)



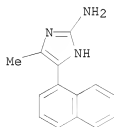
RN 842155-04-8 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(4-methoxy-1-naphthalenyl)- (CA INDEX NAME)



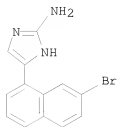
RN 842155-05-9 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(2-methoxy-1-naphthalenyl)- (CA INDEX NAME)



RN 842155-08-2 CAPLUS
 CN 1H-Imidazol-2-amine, 4-methyl-5-(1-naphthalenyl)- (CA INDEX NAME)

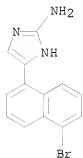


RN 842155-09-3 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(7-bromo-1-naphthalenyl)- (CA INDEX NAME)



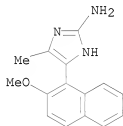
RN 842155-10-6 CAPLUS

CN 1H-Imidazol-2-amine, 5-(5-bromo-1-naphthalenyl)- (CA INDEX NAME)



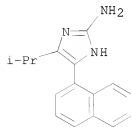
RN 842155-11-7 CAPLUS

CN 1H-Imidazol-2-amine, 5-(2-methoxy-1-naphthalenyl)-4-methyl- (CA INDEX NAME)



RN 842155-12-8 CAPLUS

CN 1H-Imidazol-2-amine, 4-(1-methylethyl)-5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:80450 CAPLUS
DOCUMENT NUMBER: 140:145835
TITLE: Preparation of dibenzofused
bicyclo[2.2.2]octane-derived amides as modulators of
the glucocorticoid receptor
INVENTOR(S): Vaccaro, Wayne; Yang, Bingwei Vera; Kim, Soong-hoon;
Huynh, Tram; Tortolani, David R.; Leavitt, Kenneth J.;
Li, Wenying; Dowsky, Arthur M.; Chen, Xiao-tao;
Dowsky, Lidia
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; et al.
SOURCE: PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009017	A2	20040129	WO 2003-US22300	20030717
WO 2004009017	A3	20040708		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003251970	A1	20040209	AU 2003-251970	20030717
US 20040132758	A1	20040708	US 2003-621909	20030717
US 6995181	B2	20060207		
EP 1534273	A2	20050601	EP 2003-765638	20030717
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006508042	T	20060309	JP 2004-523482	20030717
NO 2005000074	A	20050309	NO 2005-74	20050106
US 20050171136	A1	20050804	US 2005-85347	20050321
PRIORITY APPLN. INFO.:			US 2002-396877P	P 20020718
			US 2003-621909	A1 20030717
			WO 2003-US22300	W 20030717

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:145835

AB Title compds. I [R-R4 = H, alk(en/yn)yl, alkoxy, aryl, etc.; Z = carboxamido, alkylamino, etc.] are prepared For instance, 2-amino-4,5-dimethylthiazole is coupled to the acid derived from the cycloaddn. of methacrylic acid and anthracene (CH3CN, EDCI, Et3N, HOAt, 18 h) to give II. I are glucocorticoid receptor modulators which are useful in treating diseases requiring glucocorticoid receptor agonist or antagonist therapy such as obesity, diabetes, inflammatory and immune disorders.

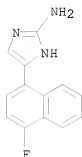
IT 650626-12-3 650626-16-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of dibenzofused bicyclo[2.2.2]octane-derived amides as modulators of glucocorticoid receptor)

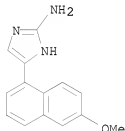
RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



RN 650626-16-7 CAPLUS

CN 1H-Imidazol-2-amine, 5-(6-methoxy-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS
RECORD (22 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:80449 CAPLUS

DOCUMENT NUMBER: 140:157927

TITLE: Homology modeling of nuclear hormone receptor Site II
and design of Site II ligands

INVENTOR(S): Dowsyko, Arthur; Nadler, Steven G.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 276 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009016	A2	20040129	WO 2003-US22299	20030717
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,			

TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1575502 A2 20050921 EP 2003-765637 20030717
 EP 1575502 A3 20051123
 EP 1575502 B1 20100120

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

US 20060223110 A1 20061005 US 2003-621807 20030717
 US 7442554 B2 20081028
 AT 456100 T 20100215 AT 2003-765637 20030717
 US 2002-396907P P 20020718
 WO 2003-US22299 W 20030717

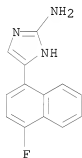
PRIORITY APPLN. INFO.:

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

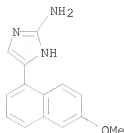
AB A binding site in nuclear hormone receptors is described and its structural coordinates are provided. The invention provides machine-readable data storage media comprising structure coordinates of Site II and computer systems comprising the machine-readable data storage media. The invention provides methods used in the design and identification of ligands of Site II and of modulators of nuclear hormone receptors. The invention provides ligands of Site II, modulators of NHRs, pharmaceutical compns. comprising modulators of NHRs, methods of modulating NHRs, and methods of treating diseases by administering modulators of an NHR. Also provided are methods of designing mutants, mutant NHRs, Site II binding assays, and models of Site II.

IT 650626-12-3P 650626-16-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (homol. modeling of nuclear hormone receptor Site II in ligand binding domain and design of Site II ligands)

RN 650626-12-3 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



RN 650626-16-7 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(6-methoxy-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1981:84008 CAPLUS

DOCUMENT NUMBER: 94:84008

ORIGINAL REFERENCE NO.: 94:13701a,13704a

TITLE: Synthesis and halogenation of some new
2-amino-4-substituted imidazoles and their possible
use as pesticides

AUTHOR(S): Nath, J. P.; Mahapatra, G. N.

CORPORATE SOURCE: Dep. Chem., Ravenshaw Coll., Cuttack, 753 003, India

SOURCE: Indian Journal of Chemistry, Section B: Organic
Chemistry Including Medicinal Chemistry (1980),
19B(6), 526-8

CODEN: IJSBDE; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 94:84008

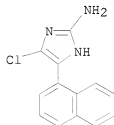
AB Eleven imidazoles I (R = Ph, substituted Ph, α -, β -naphthyl,
2-thienyl; R1 = H) were prepared by cyclizing RAC with guanidine using Br as
condensing agent. Halogenating I (R1 = H) gave I (R1 = Br, Cl). Both
halogenated and nonhalogenated imidazoles exhibit antifungal activity
against *Piricularia oryzae* and antibacterial activity against the common
pathogenic bacteria, *Staphylococcus aureus* and *Escherichia coli*.
Structure-activity relationship was also discussed.

IT 76507-28-3P 76507-39-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and pesticidal properties of)

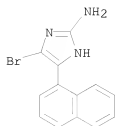
RN 76507-28-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-chloro-4-(1-naphthalenyl)- (CA INDEX NAME)

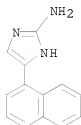


RN 76507-39-6 CAPLUS

CN 1H-Imidazol-2-amine, 5-bromo-4-(1-naphthalenyl)- (CA INDEX NAME)



IT 76507-18-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, halogenation and pesticidal properties of)
 RN 76507-18-1 CAPLUS
 CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
 (1 CITINGS)

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

99.77

494.66

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-14.45

-15.30

FILE 'STNGUIDE' ENTERED AT 07:48:02 ON 09 MAR 2010
 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
 COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Mar 5, 2010 (20100305/UP).